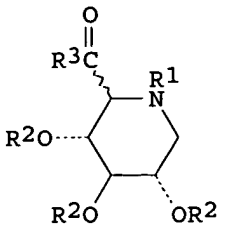
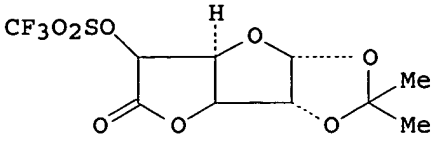


I



II

AB The title compds. (I; R1 = H, alkyl; R2 = H, acyl, silyl; R3 = OH, alkoxy, amino; with the exception of 2S-carboxy-3R,4R,5S-trihydroxypiperidine) were prepared as allergy inhibitors, antiarthritics, and for controlling mucus production (no data). Furanuronolactone II and NaN3 were refluxed with Bu4NBr in CHCl3 6 h to give 84% of the corresponding azide, which was deketalized with CF3CO2H/H2O and hydrogenated/rearranged in 1N H2SO4 over Pd/C to give I (R1 = R2 = H, R3CO = CO2H).

AN 1988:529592 CAPLUS

DN 109:129592

TI Preparation of 2-carboxy-3,4,5-trihydroxypiperidines as allergy inhibitors, antiarthritics, and for control of mucous production

IN Lockhoff, Oswald; Hayauchi, Yutaka

PA Bayer A.-G., Fed. Rep. Ger.

SO Ger. Offen., 16 pp.
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 3628486	A1	19880225	DE 1986-3628486	19860822
			DE 1986-3628486	19860822

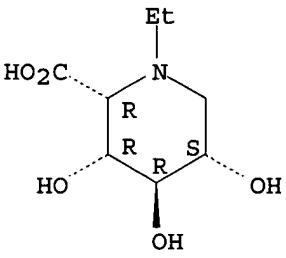
OS CASREACT 109:129592; MARPAT 109:129592

IT **116374-16-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as allergy inhibitor, antiarthritic, and for controlling mucus production)

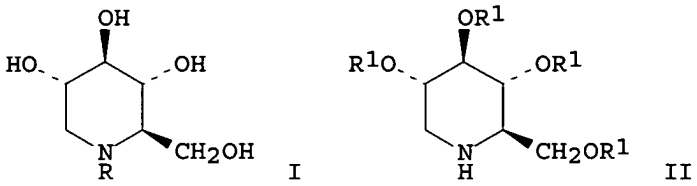
RN 116374-16-4 CAPLUS

CN 2-Piperidinecarboxylic acid, 1-ethyl-3,4,5-trihydroxy-, [2R-(2α,3α,4β,5α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 690 OF 698 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I II

AB The antidiabetic (no data) compds. I (R = C1-4 alkyl) and their salts were prepared by the alkylation of II (R1 = H, protective group, e.g., PhCH2) with alkyl halides, or N-acylation followed by reduction Thus, II (R1 = PhCH2) reacted with PrBr in aqueous DMF and K2CO3, followed by hydrogenolysis of the PhCH2 groups in HBr-HOAc to give I (R = Pr).

AN 1979:121432 CAPLUS
DN 90:121432
TI N-Alkylpiperidine derivatives
IN Murai, Hiromu; Enomoto, Hiroshi; Aoyagi, Yoshiaki; Yoshikuni, Yoshiaki; Yagi, Masahiro; Shirahase, Ichiro
PA Nippon Shinyaku Co., Ltd., Japan
SO Ger. Offen., 6 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2824781	A1	19790104	DE 1978-2824781	19780606
	DE 2824781	B2	19800131		
	DE 2824781	C3	19800918		
				JP 1977-75936 A	19770625
	JP 54012381	A2	19790130	JP 1977-75936	19770625
	JP 59043459	B4	19841022		
				A	
	SE 7805329	A	19781226	SE 1978-5329	19780510
	SE 430333	B	19831107		
	SE 430333	C	19840216		
				JP 1977-75936 A	19770625
	US 4182767	A	19800108	US 1978-906233	19780510
				JP 1977-75936 A	19770625
	NL 7805253	A	19781228	NL 1978-5253	19780516
	NL 176071	B	19840917		
	NL 176071	C	19850218		
				JP 1977-75936 A	19770625
	GB 1555653	A	19791114	GB 1978-20521	19780518
				JP 1977-75936 A	19770625
	FR 2420529	A1	19791019	FR 1978-15143	19780522
	FR 2420529	B1	19841012		
				JP 1977-75936 A	19770625
	DK 7802691	A	19781226	DK 1978-2691	19780615
	DK 149749	B	19860922		

	DK 149749	C	19870302			
				JP 1977-75936	A	19770625
	CH 633264	A	19821130	CH 1978-6611		19780616
				JP 1977-75936	A	19770625
	AT 7804517	A	19810615	AT 1978-4517		19780621
	AT 365576	B	19820125			
				JP 1977-75936	A	19770625

PATENT FAMILY INFORMATION:

FAN 1979:151998

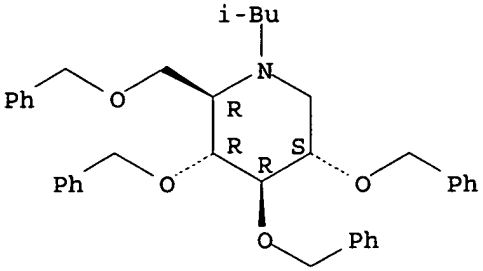
	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	BE 868329	A1	19781016	BE 1978-188742		19780621
				JP 1977-75936	A	19770625
	JP 54012381	A2	19790130	JP 1977-75936		19770625
	JP 59043459	B4	19841022			
					A	
	SE 7805329	A	19781226	SE 1978-5329		19780510
	SE 430333	B	19831107			
	SE 430333	C	19840216			
				JP 1977-75936	A	19770625
	US 4182767	A	19800108	US 1978-906233		19780510
				JP 1977-75936	A	19770625
	NL 7805253	A	19781228	NL 1978-5253		19780516
	NL 176071	B	19840917			
	NL 176071	C	19850218			
				JP 1977-75936	A	19770625
	GB 1555653	A	19791114	GB 1978-20521		19780518
				JP 1977-75936	A	19770625
	FR 2420529	A1	19791019	FR 1978-15143		19780522
	FR 2420529	B1	19841012			
				JP 1977-75936	A	19770625
	DK 7802691	A	19781226	DK 1978-2691		19780615
	DK 149749	B	19860922			
	DK 149749	C	19870302			
				JP 1977-75936	A	19770625
	CH 633264	A	19821130	CH 1978-6611		19780616
				JP 1977-75936	A	19770625
	AT 7804517	A	19810615	AT 1978-4517		19780621
	AT 365576	B	19820125			
				JP 1977-75936	A	19770625

IT **69567-15-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation reduction of)

RN 69567-15-3 CAPLUS

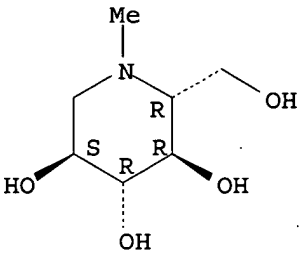
CN Piperidine, 1-(2-methylpropyl)-3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-, [2R-(2α,3β,4α,5β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **69567-10-8P 69567-12-0P 69567-14-2P**
69567-16-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 69567-10-8 CAPLUS
CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-1-methyl-, (2R,3R,4R,5S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

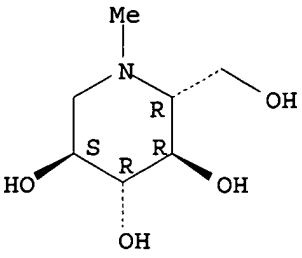


RN 69567-12-0 CAPLUS
CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-1-methyl-, [2R-
(2α,3β,4α,5β)]-, 4-methylbenzenesulfonate (salt)
(9CI) (CA INDEX NAME)

CM 1

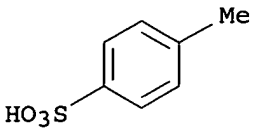
CRN 69567-10-8
CMF C7 H15 N O4

Absolute stereochemistry.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S

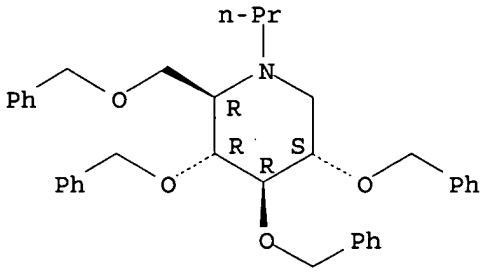


RN 69567-14-2 CAPLUS
CN Piperidine, 3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-1-propyl-, [2R-(2α,3β,4α,5β)]-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

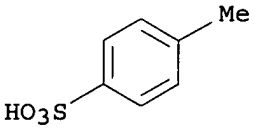
CRN 69567-13-1
CMF C37 H43 N O4

Absolute stereochemistry.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



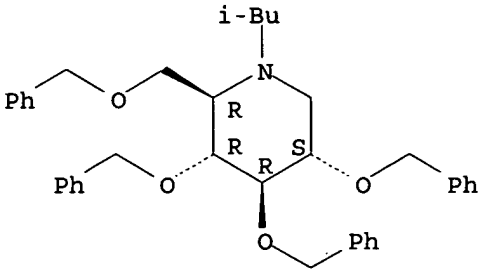
RN 69567-16-4 CAPLUS
CN Piperidine, 1-(2-methylpropyl)-3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-, [2R-(2α,3β,4α,5β)]-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 69567-15-3
CMF C38 H45 N O4

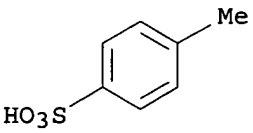
2/7/05

Absolute stereochemistry.

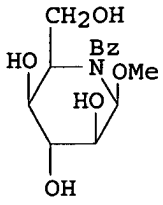


CM 2

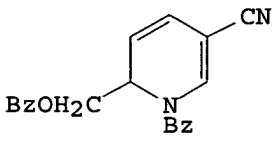
CRN 104-15-4
CMF C7 H8 O3 S



L7 ANSWER 692 OF 698 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I



II

AB 1-O-Methyl-5-benzamido-5-deoxy-DL-idopiperidinose (I) was synthesized from the dihydropyridine derivative II by stereoselective introduction of the hydroxyl function.

AN 1977:140353 CAPLUS

DN 86:140353

TI Synthetic studies on amino sugars from pyridines. III. Synthesis of 1-O-methyl-5-benzamido-5-deoxy-dl-idopiperidinose

AU Natsume, Mitsutaka; Wada, Moritaka

CS Res. Found. Itsuu Lab., Tokyo, Japan

SO Chemical & Pharmaceutical Bulletin (1976), 24(11), 2657-60
CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

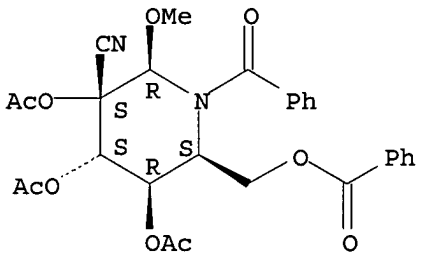
LA English

IT **62218-37-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and hydrolysis with sodium methoxide)

RN 62218-37-5 CAPLUS

CN 3-Piperidinecarbonitrile, 3,4,5-tris(acetyloxy)-1-benzoyl-6-[(benzoyloxy)methyl]-2-methoxy-, (2α,3β,4β,5α,6.alpha.)- (9CI) (CA INDEX NAME)

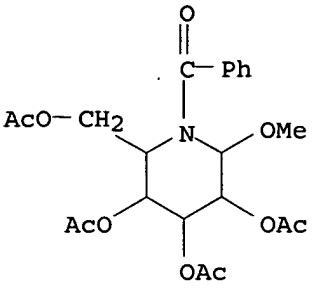
Relative stereochemistry.



IT **62218-38-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)

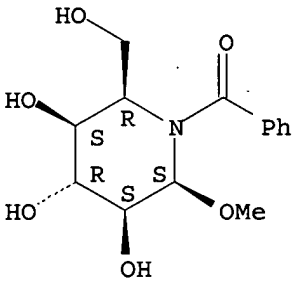
RN 62218-38-6 CAPLUS

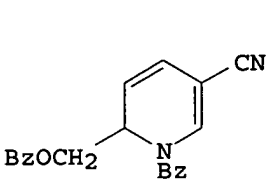
CN 3,4,5-Piperidinetriol, 2-[(acetyloxy)methyl]-1-benzoyl-6-methoxy-, triacetate (ester), (2α,3α,4β,5α,6α)- (9CI) (CA INDEX NAME)



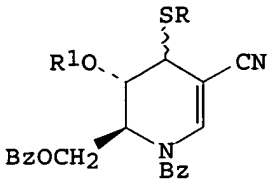
IT **62218-39-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 62218-39-7 CAPLUS
CN 3,4,5-Piperidinetriol, 1-benzoyl-2-(hydroxymethyl)-6-methoxy-, (2α,3α,4β,5α,6α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

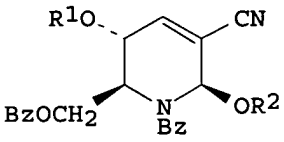




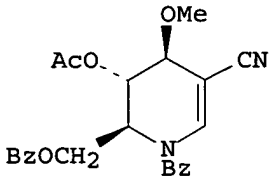
I



III



IV



V

AB Sensitized photooxidn. of 5-cyano-1,2-dihydropyridine derivative I afforded a crystalline and reactive endo-peroxide (II) and S derivs. III (R = Ph, R1 = H, Ac; R = CH2Ph, R1 = H). O derivs. IV (R1 = Me, R2 = H, Ac; R1 = CD3, R2 = Ac) and V were produced in good yield from II. IV (R1 = Me, R2 = Ac) was a good intermediate for production of 4-substituted compds., 1-O-methyl-5-benzamido-5-deoxyallopiperidinose and 1-O-methyl-5-benzamido-5-deoxyaltropiperidinose. Formation of IV and II was a multi-step reaction.

AN 1979:138117 CAPLUS

DN 90:138117

TI Synthetic study of amino sugars from pyridines. V. Synthesis of 5-amino-5-deoxypiperidinoses from the singlet oxygen adduct of 1-acyl-1,2-dihydropyridines

AU Natsume, Mitsutaka; Wada, Moritaka; Ogawa, Masashi

CS Itsuu Lab., Res. Found., Tokyo, Japan

SO Chemical & Pharmaceutical Bulletin (1978), 26(11), 3364-72
CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

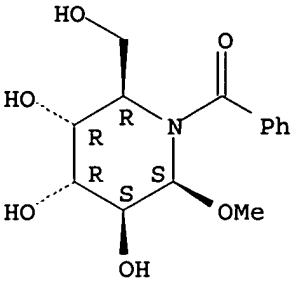
LA English

IT **69538-38-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with diethoxypropane)

RN 69538-38-1 CAPLUS

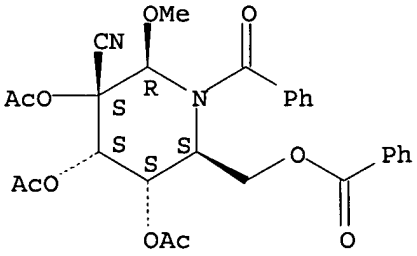
CN 3,4,5-Piperidinetriol, 1-benzoyl-2-(hydroxymethyl)-6-methoxy-, (2α,3β,4β,5α,6α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



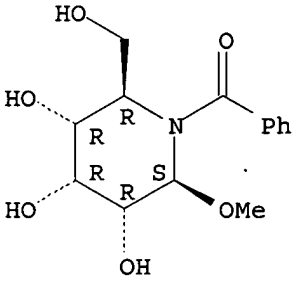
IT **69591-25-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with methoxide)
RN 69591-25-9 CAPLUS
CN 3-Piperidinecarbonitrile, 3,4,5-tris(acetyloxy)-1-benzoyl-6-[(benzoyloxy)methyl]-2-methoxy-, (2α,3β,4β,5β,6.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

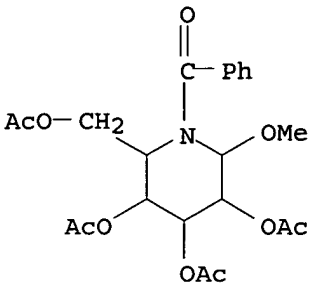


IT **69538-37-0P 69538-39-2P 69538-40-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 69538-37-0 CAPLUS
CN 3,4,5-Piperidinetriol, 1-benzoyl-2-(hydroxymethyl)-6-methoxy-, (2α,3β,4β,5β,6α)- (9CI) (CA INDEX NAME)

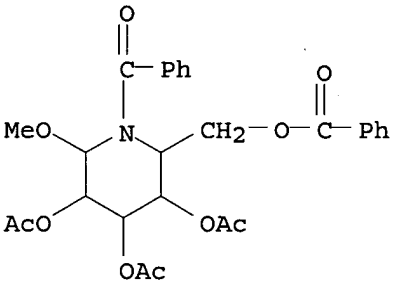
Relative stereochemistry.



RN 69538-39-2 CAPLUS
CN 3,4,5-Piperidinetriol, 2-[(acetyloxy)methyl]-1-benzoyl-6-methoxy-, triacetate (ester), (2α,3β,4β,5β,6α)- (9CI)
(CA INDEX NAME)

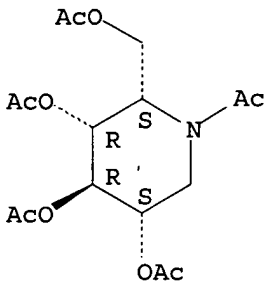


RN 69538-40-5 CAPLUS
CN 3,4,5-Piperidinetriol, 1-benzoyl-2-[(benzoyloxy)methyl]-6-methoxy-, triacetate (ester), (2α,3β,4β,5α,6α)- (9CI) (CA INDEX NAME)

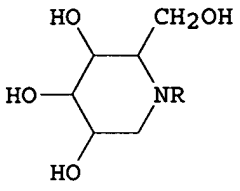


L7 ANSWER 696 OF 698 CAPLUS COPYRIGHT 2005 ACS on STN
GI For diagram(s), see printed CA Issue.
AB 6-Amino-6-deoxy-D-glucose (I) and 6-amino-6-deoxy-D-galactose (II) are catalytically hydrogenated to give 7-membered 1,6-dideoxy-1,6-iminohexitols (III, IV). Thus, it is proved that both hexoses exist to a small extent in the septanose forms (V, VI), which are constantly removed from the equilibrium by the hydrogenation reaction. 6-Deoxy-1,6-imino-L-idopyranose (VII) gave on hydrogenolytic splitting 1,6-dideoxy-1,6-imino-L-iditol (VIII). 6-Amino-5,6-dideoxy-D-xylo-hexose exists preferably in the furanose form (IX) and the bicyclic 1,6-iminofuranose form (X). A small part as the septanose (XI) makes possible the hydrogenation to 1,5,6-trideoxy-1,6-imino-D-xylo-hexitol (XII).
AN 1967:403207 CAPLUS
DN 67:3207
TI Monosaccharides with a nitrogen-containing ring. Monosaccharides with seven-membered nitrogen heterocycles
AU Paulsen, Hans; Todt, Klaus
CS Univ. Hamburg, Hamburg, Fed. Rep. Ger.
SO Chemische Berichte (1967), 100(2), 512-20
CODEN: CHBEAM; ISSN: 0009-2940
DT Journal
LA German
IT **16647-60-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 16647-60-2 CAPLUS
CN 3,4,5-Piperidinetriol, 1-acetyl-2-[(acetyloxy)methyl]-, triacetate (ester), [2S-(2α,3α,4β,5α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 675 OF 698 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Fifty-five title derivs. I [R = ZR1R2, Z = C3-C6 hydrocarbon residues optionally having double bonds; R1 = H, R3R4C6H3 (R3, R4 = H, halo, alkyl, etc.); R2 = R3R4C6H3, 3,4-methylenedioxyphenyl, thienyl] were prepared by N-alkylation of moranoline (I, R = H) (II). Hypoglycemic data of I were given in sucrose-fed rats (p.o.). Thus, 1 g II was stirred with 2 g 3-MeC6H4CH:CHCH2Br and 3 g Na2CO3 in (CH2OH)2 1.5 h at 40-55° to give 0.65 g I (Z = CH2CH:C, R = H, R2 = 3-MeC6H4).

AN 1981:65995 CAPLUS
DN 94:65995
TI N-Substituted moranoline derivatives
PA Nippon Shinyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	JP 55047655	A2	19800404	JP 1978-120661		19780929
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			JP 1978-53603	A	19780503

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PATENT FAMILY INFORMATION:

FAN 1980:147138

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FAN 1981:30982

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	DE 2915037	A1	19791108	DE 1979-2915037		19790412
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				JP 1978-120661	A	19780929
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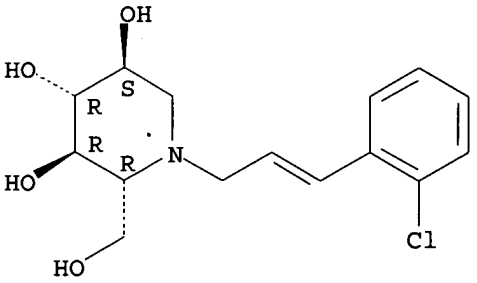
73249-72-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

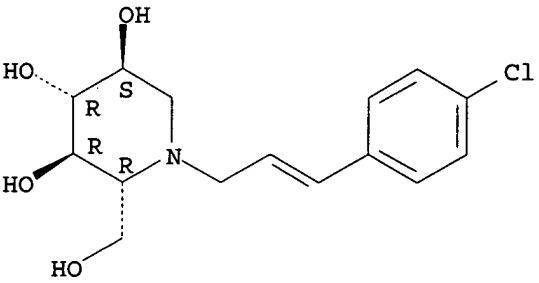
RN 73243-81-9 CAPLUS
CN 3,4,5-Piperidinetriol, 1-[3-(2-chlorophenyl)-2-propenyl]-2-(hydroxymethyl)-, [2R-(2α,3β,4α,5β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



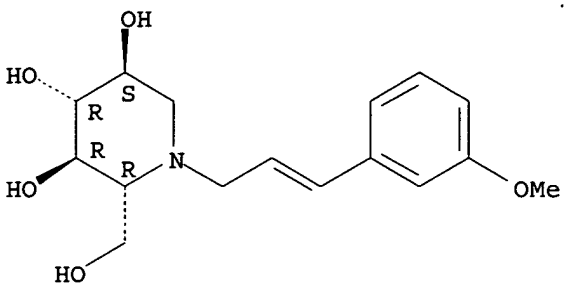
RN 73243-82-0 CAPLUS
CN 3,4,5-Piperidinetriol, 1-[3-(4-chlorophenyl)-2-propenyl]-2-(hydroxymethyl)-, [2R-(2α,3β,4α,5β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



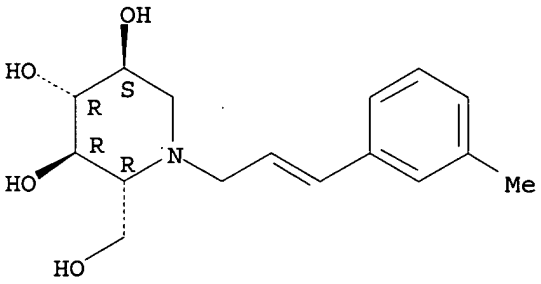
RN 73243-83-1 CAPLUS
CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-1-[3-(3-methoxyphenyl)-2-propenyl]-, [2R-(2α,3β,4α,5β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



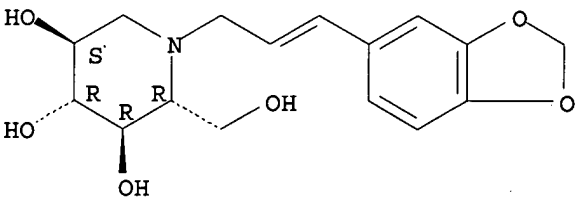
RN 73243-84-2 CAPLUS
CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-1-[3-(3-methylphenyl)-2-propenyl]-, [2R-(2α,3β,4α,5β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 73243-85-3 CAPLUS
CN 3,4,5-Piperidinetriol, 1-[3-(1,3-benzodioxol-5-yl)-2-propenyl]-2-(hydroxymethyl)-, [2R-(2α,3β,4α,5β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



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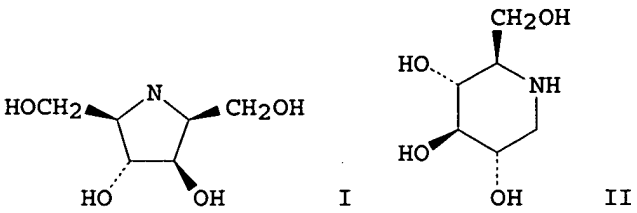
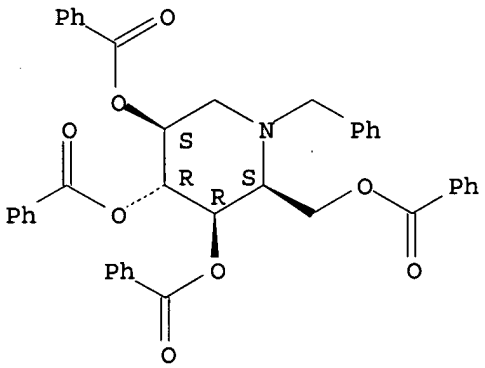


I II

AB Dicarbonyl sugars are convenient substrates for the stereoselective synthesis of hydroxylated piperidines and pyrrolidines, via a double reductive amination reaction (NaCNBH3, MeOH). Using this strategy, anhydroiminoglucitol I and 1-deoxynojirimycin (II) were prepared from 5-keto-D-fructose and 5-keto-D-glucose, resp.
AN 1991:102632 CAPLUS
DN 114:102632
TI Pyrrolidine and piperidine amino sugars from dicarbonyl sugars in one step. Concise synthesis of 1-deoxynojirimycin
AU Reitz, Allen B.; Baxter, Ellen W.
CS Chem. Res. Dep., Janssen Res. Found., Spring House, PA, 19477, USA
SO Tetrahedron Letters (1990), 31(47), 6777-80
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 114:102632
IT **132215-95-3 132338-89-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with benzylamine, in presence of sodium cyanoborohydride)
RN 132215-95-3 CAPLUS
CN 3,4,5-Piperidinetriol, 2-[(benzoyloxy)methyl]-1-(phenylmethyl)-, tribenzoate (ester), [2S-(2α,3α,4β,5α)]- (9CI) (CA INDEX NAME)

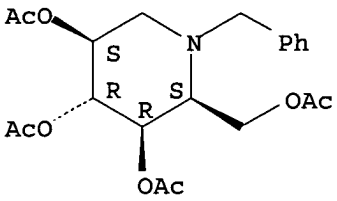
Absolute stereochemistry.

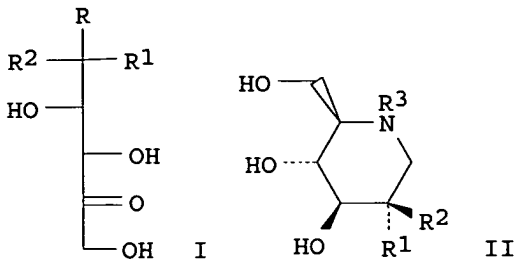


RN 132338-89-7 CAPLUS
CN 3,4,5-Piperidinetriol, 2-[(acetyloxy)methyl]-1-(phenylmethyl)-, triacetate

(ester), (2S,3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





AB Polyhydroxylated pyrrolidines and piperidines were prepared by the double reductive amination of dicarbonyl sugars with primary amines and NaCNBH3 in MeOH. Stereocontrol in these reactions depended on the nature of the amine and dicarbonyl sugar. For example, 5-keto-D-fructose I (R = CH2OH, R1R2 = O) gave three pyrrolidine stereoisomers, with the N-alkylated 2,5-anhydro-2,5-imino-D-glucitol predominating. Under similar reaction conditions with benzhydrylamine, 5-keto-D-glucose I (R = CHO, R1 = OH, R2 = H) afforded a 96:4 mixture of piperidines favoring D-gluco II (R1 = OH, R2 = H, R3 = CHPh2), whereas 5-keto-D-mannose I (R = CHO, R1 = H, R2 = OH) produced a 67:33 mixture enriched in D-manno isomer I (R1 = H, R2 = OH, R3 = CHPh2). This method allowed for the direct and relatively short synthesis of 1-deoxynojirimycin (II; R1 = OH, R2 = R3 = H) and 1-deoxymannojirimycin (II; R1 = R3 = H, R2 = OH) and N-alkylated derivs. thereof.

AN 1994:656177 CAPLUS
DN 121:256177

TI Expeditious Synthesis of Aza sugars by the Double Reductive Amination of Dicarbonyl Sugars

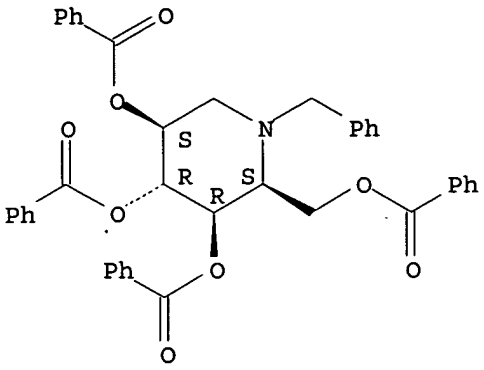
AU Baxter, Ellen W.; Reitz, Allen B.
CS Medicinal Chemistry Department, R. W. Johnson Pharmaceutical Research Institute, Spring House, PA, 19477, USA

SO Journal of Organic Chemistry (1994), 59(11), 3175-85
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 121:256177

IT **132215-95-3P 132338-89-7P 158478-07-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

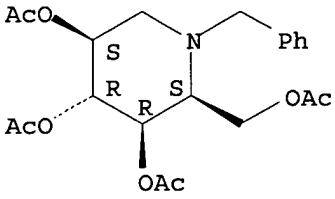
RN 132215-95-3 CAPLUS
CN 3,4,5-Piperidinetriol, 2-[(benzoyloxy)methyl]-1-(phenylmethyl)-, tribenzoate (ester), [2S-(2α,3α,4β,5α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



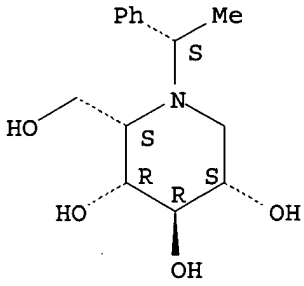
RN 132338-89-7 CAPLUS
CN 3,4,5-Piperidinetriol, 2-[(acetyloxy)methyl]-1-(phenylmethyl)-, triacetate (ester), (2S,3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158478-07-0 CAPLUS
CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-1-(1-phenylethyl)-, [2S-[1(R*),2α,3α,4β,5α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 21 OF 46 CAPLUS COPYRIGHT 2005 ACS on STN

AB A series of natural epimers of α-homonojirimycin and its N-alkylated derivs. have been prepared to investigate the contribution of the different chiral centers and conformation of the specificity and potency of inhibition of glycosidases. These epimers and N-alkylated derivs. are α-homonojirimycin, β-homonojirimycin, α-homomannojirimycin, β-homomannojirimycin, α-3,4-di-epi-homonojirimycin, β-4,5-di-epi-homonojirimycin, N-methyl-α-homonojirimycin, and N-butyl-α-homonojirimycin. α-Homonojirimycin was a potent inhibitor of a range of α-glucosidases with IC50 values of 1 to 0.01 μM. β-Homonojirimycin, α-homomannojirimycin, and β-homomannojirimycin were surprisingly inactive as inhibitors of β-glucosidase and α- and β-mannosidases but were moderately good as inhibitors of rice and some mammalian α-glucosidases. β-Homomannojirimycin was active in the micromolar range toward all α-glucosidases tested. Furthermore, β-homomannojirimycin, which superimposes well on β-L-fucose, was a 10-fold more effective inhibitor of α-L-fucosidase than 1-deoxymannojirimycin or α-homomannojirimycin, with a Ki value of 0.45 μM. Only α-3,4-di-epi-homonojirimycin and β-4,5-di-epi-homonojirimycin showed inhibitory activity toward α- and β-galactosidases (with an IC50 value of 6.4 μM against α-galactosidase). The high-resolution structure α-homonojirimycin of has been determined by X-ray diffraction and showed a chair conformation with the C1 OH (corresponding to the C6 OH in 1-deoxynojirimycin) predominantly equatorial to the piperidine ring in the crystal structure. This preferred (C1 OH equatorial) conformation was also corroborated by 1H NMR coupling consts. The coupling consts. for N-methyl-α-homonojirimycin suggest the axial orientation of the C1 OH, while in N-butyl-α-homonojirimycin the C1 OH axial conformation was not observed The C1 OH axial conformation appears to be responsible for more potent inhibition toward processing α-glucosidase I than α-glucosidase II. It has been assumed that the anti-HIV activity of alkaloidal glycosidase inhibitors results from the inhibition of processing α-glucosidase I, but N-methyl-α-homonojirimycin, N-butyl-α-homonojirimycin, and α-homonojirimycin were inactive against HIV-1 replication at 500 μg/mL as measured by inhibition of virus-induced cytopathogenicity in MT-4 cells. In contrast, the EC50 value for N-butyl-1-deoxynojirimycin, which also inhibits processing α-glucosidase I, was 37 μg/mL. N-Methyl-α-homonojirimycin has been shown to be a better inhibitor of α-glucosidase I both in vitro and in the cell culture system. These data imply that inhibition of HIV by glycosidase inhibitors can be due to factors other than simply inhibition of processing α-glucosidase I.

AN 1998:429058 CAPLUS
DN 129:136396

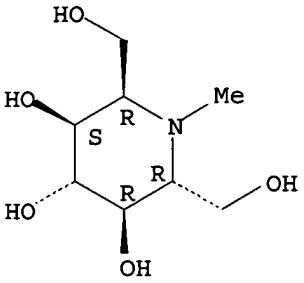
TI Homonojirimycin Isomers and N-Alkylated Homonojirimycins: Structural and Conformational Basis of Inhibition of Glycosidases

AU Asano, Naoki; Nishida, Makoto; Kato, Atsushi; Kizu, Haruhisa; Matsui, Katsuhiko; Shimada, Yutaka; Itoh, Takashi; Baba, Masanori; Watson, Alison A.; Nash, Robert J.; de Lilley, Paul M.; Watkin, David J.; Fleet, George W. J.
CS Faculty of Pharmaceutical Sciences, Hokuriku University, Kanazawa, 920-11, Japan

SO Journal of Medicinal Chemistry (1998), 41(14), 2565-2571
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society

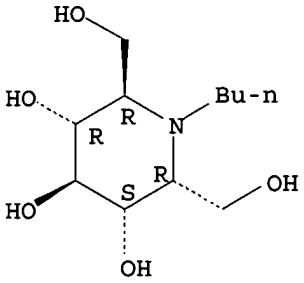
DT Journal
LA English
IT **210708-41-1 210708-42-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(structural and conformational basis of inhibition of glycosidases by homonojirimycin isomers and N-alkylated homonojirimycins)
RN 210708-41-1 CAPLUS
CN 3,4,5-Piperidinetriol, 2,6-bis(hydroxymethyl)-1-methyl-, stereoisomer (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 210708-42-2 CAPLUS
CN 3,4,5-Piperidinetriol, 1-butyl-2,6-bis(hydroxymethyl)-, stereoisomer (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 22 OF 46 CAPLUS COPYRIGHT 2005 ACS on STN
AB Homonojirimycin (HNJ) and N-methylhomonojirimycin (MHNJ) were tested as inhibitors of the purified glycoprotein processing enzymes, glucosidase I and glucosidase II. MHNJ was a reasonably good inhibitor of glucosidase I (Ki=1+10-6M) and was about three times as effective on this enzyme as was HNJ. On the other hand, HNJ inhibited glucosidase II with a Ki of about 1 + 10-6 M, whereas MHNJ was three times less effective (Ki=+10-5 M). However, the Bu derivative of HNJ had very low activity toward these two processing glucosidases. HNJ and its Me derivative were also tested in vivo using influenza virus-infected MDCK cells, and measuring the inhibition glycoproteins. With 100 μg/mL of MHNJ in the medium, essentially all of the N-linked oligosaccharide chains of the virus were of the "high-mannose" type with the major structure being characterized as

Glc3Man9(GlcNAc)2. Similar results were obtained with HNJ although this compound was less effective in vivo as well as in vitro. These results are in keeping with these inhibitors being effective at the glucosidase I step. Both inhibitors were also tested in MDCK cell cultures to determine whether they affected the in vivo synthesis of proteins, or of lipid-linked saccharides. In contrast to deoxynojirimycin, which has been reported to inhibit the formation of lipid-linked saccharides, no effects were seen on either the incorporation of mannose into lipid-linked saccharides or the incorporation of leucine into protein.

AN 1997:267642 CAPLUS
DN 126:338823
TI Homonojirimycin and N-methyl-homonojirimycin inhibit N-linked oligosaccharide processing
AU Zeng, Yucheng; Pan, Y. T.; Asano, Naoki; Nash, Robert J.; Elbein, Alan D.
CS Dep. Biochem. Mol. Biol., Univ. Arkansas Med. Sci., Little Rock, AR, 72205, USA
SO Glycobiology (1997), 7(2), 297-304
CODEN: GLYCE3; ISSN: 0959-6658
PB Oxford University Press
DT Journal
LA English
IT **190002-02-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(Homonojirimycin and N-methylhomonojirimycin inhibition of glucosidase I and glucosidase II)
RN 190002-02-9 CAPLUS
CN 3,4,5-Piperidinetriol, 2,6-bis(hydroxymethyl)-1-methyl-, [2S-(2α,3β,4α,5β,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.